

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 2 of 10

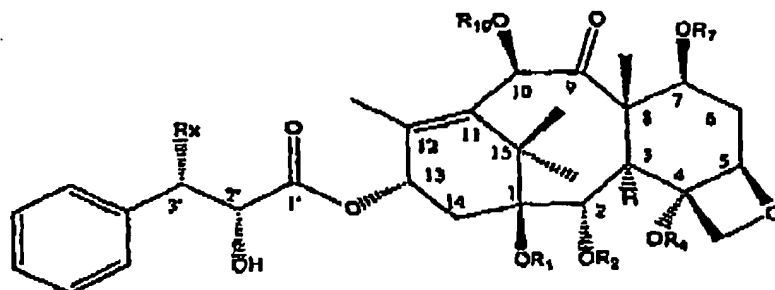
RECEIVED
CENTRAL FAX CENTER
SEP 22 2006

Amendments to the Claims:

1. (Canceled)
2. (Canceled)
3. (Currently amended) The method of claim [[1]] 54, wherein the acylating agent is an acid halide.
4. (Previously presented) The method of claim 3, wherein the acid halide is an acid chloride.
5. (Previously presented) The method of claim 3, wherein the acid halide is selected from the group consisting of benzoyl halide, tigloyl halide, hexanoyl halide, butyryl halide, 2-methylbutyryl halide, phenylacetyl halide, furoyl halide, and *tert*-butyl haloformate.
6. (Currently amended) The method of claim [[1]] 54, wherein the hindered base is a pyridine derivative substituted at least at the 2-position.
7. (Previously presented) The method of claim 5, wherein the hindered base is N-ethylidicyclohexylamine.
8. (Currently amended) The method of claim [[1]] 54, wherein the hindered base is selected from the group consisting of 2,6-lutidine and 2,4,6-collidine.
- 9-27. (Canceled)
28. (Previously presented) A method of selectively acylating a taxane molecule, the method comprising the steps of
 - (a) providing a solution of tetrahydrofuran and a taxane molecule having the formula:

LEGAL02/30089626v1

Appl. No.: 10/510,063
 Amendment dated September 22, 2006
 Page 3 of 10



wherein

R₁ is hydrogen;

R₂ is a benzoyl group;

R₄ is an acetate group;

R₇ is hydrogen;

R₁₀ is hydrogen or an acetate group; and

R_x is N=CHRC or -NHC(O)R_n, wherein R_c is an alkyl group, an aryl group, an arylalkyl group, a vinyl group, or an ether group; and R_n is an alkyl group, an aryl group, an arylalkyl group, a vinyl group, or an ether group; and

(b) adding 2,6-lutidine or *N* ethyldicyclohexylamine and an acid chloride to the solution thereby to selectively acylate the hydroxyl group located at the C-2' position.

29. (Original) The method of claim 28 wherein R₁₀ is hydrogen.

30. (Original) The method of claim 28 wherein R₁₀ is an acetate group.

31. (Original) The method of claim 29 wherein R_x is N=CHRC, and R_c is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 4 of 10

32. (Original) The method of claim 29 wherein Rx is -NHC(O)R_n , and R_n is selected from the group consisting of phenyl, 1-methyl-1 propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

33. (Currently amended) The method of claim 30 wherein Rx is N=CHR , and $[[\text{R}]]$ R is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

34. (Previously presented) The method of claim 30 wherein Rx is -NHC(O)R_n , and R_n is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

35. (Original) The method of claim 31 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert* butyl chloroformate.

36. (Original) The method of claim 32 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*-butyl chloroformate.

37. (Original) The method of claim 33 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*butyl chloroformate.

38. (Original) The method of claim 34 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert* butyl chloroformate.

39. (Original) The method of claim 28 wherein R_{10} is an acetate group, Rx is -NHC(O)R_n , wherein R_n is phenyl, and the acid chloride is benzoyl chloride.

LEGAL02/30089626v1

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 5 of 10

40. (Original) The method of claim 28 wherein R_{10} is an acetate group, R_x is $-NHC(O)R_n$, wherein R_n is 1-methyl-1-propenyl, and the acid chloride is benzoyl chloride.

41. (Previously presented) The method of claim 28 wherein R_{10} is an acetate group, R_x is $-NHC(O)R_n$, wherein R_n is n-pentyl, and the acid chloride is benzoyl chloride.

42. (Currently amended) The method of ~~claims 1, 9, or 28~~ claim 28 further comprising the step of crystallizing the acylated compound with at least one solubilizing solvent and optionally at least one antisolvent.

43. (Currently amended) The method of claim 42, wherein the at least one solubilizing solvent is a halogenated hydrocarbon.

44. (Previously presented) The method of claim 42, wherein the solubilizing solvent is selected from the group consisting of acetone, methyl tert butyl ether, trifluorotoluene, or THF.

45. (Previously Presented) The method of claim 42, wherein the solubilizing solvent is methylene chloride.

46. (Currently amended) The method of claim 42, wherein the at least one solubilizing solvent is methylene chloride and the antisolvent is hexane.

47. (Previously Presented) The method of claim 42, wherein the antisolvent is a hydrocarbon alkane.

48. (Currently amended) The method of claim ~~[[1]]~~ 54, wherein the method results in at least about 95% of an ending taxane acylated at the C-2' position and less than about 0.1 % of the starting taxane remains unreacted after the contacting step.

LIEGAL02/30089626v1

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 6 of 10

49. (Previously presented) The method of claim 48, wherein the method results in at least about 99% of an ending taxane acylated at the C-2' position.

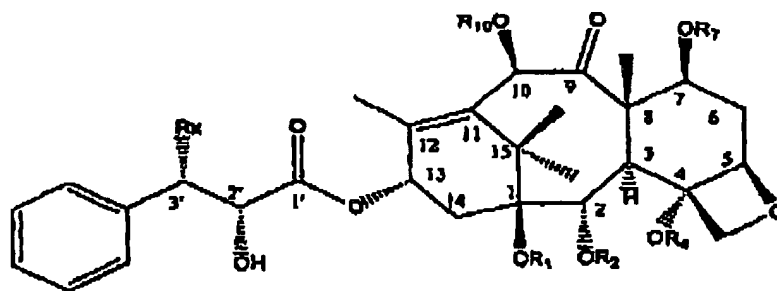
50-51. (Canceled)

52. (Previously presented) The method of claim 28, wherein the method results in at least about 95% of an ending taxane acylated at the C-2' position and less than about 0.1 % of the starting taxane remains unreacted after the contacting step.

53. (Currently amended) The method of claim [[53]] 52, wherein the method results in at least about 99% of an ending taxane acylated at the C-2' position.

54. (New) A method of selectively acylating a taxane molecule, the method comprising the steps of

(a) providing a solution of tetrahydrofuran and a taxane molecule having the formula:



wherein

R₁ is hydrogen;

R₂ is a benzoyl group;

R₄ is an acetate group;

R₇ is hydrogen;

R₁₀ is hydrogen or an acetate group; and

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 7 of 10

R_x is N=CHR_c or -NHC(O)R_n, wherein R_c is an alkyl group, an aryl group, an arylalkyl group, an vinyl group, or an ether group; and R_n is an alkyl group, an aryl group, an arylalkyl group, a vinyl group, or an ether group; and

(b) contacting the solution with a hindered base and an acylating agent thereby to selectively acylate the hydroxyl group located at the C-2' position,

wherein the hindered base is selected from the group consisting of pyridine derivatives substituted at least at the 2-position, N,N-diisopropylisobutylamine, N-ethyldicyclohexylamine, triethylamine, triisopropylamine, tripropylamine, imidazole, 1,5-diazabicyclo[4.3.0]non-5-ene, 1,4-diazabicyclo[2.2.2]octane, and 1,8-diazabicyclo[5.4.0]undec-7-ene.

55. (New) The method of claim 54 further comprising the step of crystallizing the acylated compound with at least one solubilizing solvent and optionally at least one antisolvent.

56. (New) The method of claim 55, wherein the at least one solubilizing solvent is a halogenated hydrocarbon.

57. (New) The method of claim 55, wherein the solubilizing solvent is selected from the group consisting of acetone, methyl tert butyl ether, trifluorotoluene, or THF.

58. (New) The method of claim 55, wherein the solubilizing solvent is methylene chloride.

59. (New) The method of claim 55, wherein the at least one solubilizing solvent is methylene chloride and the antisolvent is hexane.

60. (New) The method of claim 55, wherein the antisolvent is a hydrocarbon alkane.

61. (New) The method of claim 54 wherein R₁₀ is hydrogen.

62. (New) The method of claim 54 wherein R₁₀ is an acetate group.

LEGAL02/30089626v1

Appl. No.: 10/510,063
Amendment dated September 22, 2006
Page 8 of 10

63. (New) The method of claim 61 wherein Rx is N=CHR_c, and R_c is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

64. (New) The method of claim 61 wherein Rx is -NHC(O)R_n, and R_n is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

65. (New) The method of claim 62 wherein Rx is N=CHR, and R is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

66. (New) The method of claim 62 wherein Rx is -NHC(O)R_n, and R_n is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

LEGAL02/30089626v1